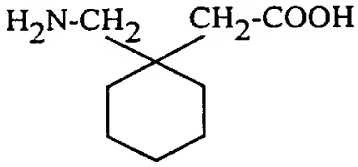
CLAIMS

What is claimed is:

A stabilized pharmaceutical preparation containing a 4-amino-3-substituted-butanoic acid derivative which comprises a 4-amino-3-substituted-butanoic acid derivative having the general formula



wherein,

R_1 is a hydrogen atom, a hydroxyl group, a methyl group or an ethyl group;

R_2 is a monovalent group selected from:

a straight or branched alkyl group of 3 - 8 carbon atoms;

a straight or branched alkylene group of 3 - 8 carbon atoms;

a straight or branched alkyl group of 3 - 8 carbon atoms which is mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkyl group of 3 - 8 carbon atoms;

a cycloalkyl group of 3 - 8 carbon atoms which is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkyl group of 4 - 8 carbon atoms;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkyl group of 4 - 8 carbon atoms wherein said phenyl ring is mono-, di- or tri-substituted with a halogen atom, a

trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedienyl group of 5 - 8 carbon atoms;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedienyl group of 5 - 8 carbon atoms wherein said phenyl ring is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

an alkylcycloalkyl group wherein said cycloalkyl has 3 - 8 carbon atoms and is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS-;

an alkylcycloalkyl group wherein said cycloalkyl has 3 - 8 carbon atoms, is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS- and is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkyl group of 5 - 8 carbon atoms wherein one of the methylene groups ($-CH_2-$) is replaced by -O-, -NH-, -S-, -SO- or $-S(O)_2-$;

a cycloalkyl group of 5 - 8 carbon atoms wherein one of the methylene groups ($-CH_2-$) is replaced by -O-, -NH-, -S-, -SO- or $-S(O)_2-$, and one or two of the unsubstituted methylene groups ($-CH_2-$) are mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy

group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedienyl group of 5 - 8 carbon atoms, one of the methylene groups ($-CH_2-$) in said cycloalkenyl ring or cycloalkanedienyl ring being replaced by -O-, -NH-, =N-, -S-, -SO- or $-S(O)_2-$;

a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedienyl group of 5 - 8 carbon atoms, one of the methylene groups ($-CH_2-$) in said cycloalkenyl ring or cycloalkanedienyl ring being replaced by -O-, -NH-, =N-, -S-, -SO- or $-S(O)_2-$, and one or two of the unsubstituted methylene groups ($-CH_2-$) being mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkyl group of 5 - 8 carbon atoms wherein one of the methylene groups ($-CH_2-$) is replaced by -O-, -NH-, -S-, -SO- or $-S(O)_2-$;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkyl group of 5 - 8 carbon atoms wherein one of the methylene groups ($-CH_2-$) is replaced by -O-, -NH-, -S-, -SO- or $-S(O)_2-$, said phenyl group being mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedienyl group of 5 - 8 carbon atoms, one of the methylene groups ($-CH_2-$) in said cycloalkenyl ring or

cycloalkanedienyl ring being replaced by -O-, -NH-, =N-, -S-, -SO- or -$S(O)_2$-;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedienyl group of 5 - 8 carbon atoms, one of the methylene groups (-CH_2-) in said cycloalkenyl ring or cycloalkanedienyl ring being replaced by -O-, -NH-, =N-, -S-, -SO- or -$S(O)_2$-, said phenyl ring being mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

an alkylcycloalkyl group wherein said cycloalkyl has 5 - 8 carbon atoms and is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS-, one of the methylene groups (-CH_2-) in said cycloalkyl ring being replaced by -O-, -NH-, -S-, -SO- or -$S(O)_2$-;

an alkylcycloalkyl group wherein said cycloalkyl has 5 - 8 carbon atoms and is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS-, and one of the methylene groups (-CH_2-) in said cycloalkyl ring being replaced by -O-, -NH-, -S-, -SO- or -$S(O)_2$- and one or two of the unsubstituted methylene groups (-CH_2-) being mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a phenyl or naphthyl group;

a phenyl group substituted with a methylenedioxy group;

a phenyl or naphthyl group which is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group, a carboxyl group, a phenoxy group, a phenylmethoxy

group, a phenylmethoxy group wherein said phenyl ring is mono-substituted with a halogen atom, trifluoromethyl group, an alkoxy group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group, a cycloalkylmethoxy group having 5 - 8 carbon atoms in the cycloalkyl ring, a cycloalkenylmethoxy group having 5 - 8 carbon atoms in the cycloalkenyl ring, a cycloalkanedienylmethoxy group having 5 - 8 carbon atoms in the cycloalkanedienyl ring, a cycloalkylmethoxy group wherein one of the methylene groups ($-CH_2-$) in said cycloalkyl ring having 5 - 8 carbon atoms is replaced by -O-, -NH-, -S-, -SO- or $-S(O)_2-$, a cycloalkenylmethoxy group wherein one of the methylene groups ($-CH_2-$) in said cycloalkenyl ring having 5 - 8 carbon atoms is replaced by -O-, -NH-, =N-, -S-, -SO- or $-S(O)_2-$, a cycloalkanedienyl-methoxy group wherein one of the methylene groups ($-CH_2-$) in said cycloalkanedienyl ring having 5 - 8 carbon atoms is replaced by -O-, -NH-, =N-, -S-, -SO- or $-S(O)_2-$ group, a cycloalkylmethoxy group having 5 - 8 carbon atoms in the cycloalkyl ring wherein said cycloalkyl ring is mono-substituted with a halogen atom, trifluoromethyl group, a hydroxy group, an alkyl group, an alkoxy group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group and one of the methylene groups ($-CH_2-$) in said cycloalkyl ring is replaced by -O-, -NH-, -S-, -SO- or $-S(O)_2-$, a cycloalkenylmethoxy group having 5 - 8 carbon atoms in the cycloalkenyl ring wherein said cycloalkenyl ring is mono-substituted with a halogen atom, a trifluoromethyl group, a hydroxy group, an alkyl group, an alkoxy group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group and one of the methylene groups ($-CH_2-$) in said cycloalkenyl ring is replaced by -O-, -NH-, =N-, -S-, -SO- or $-S(O)_2-$, or a cycloalkanedienylmethoxy group having 5 - 8 carbon atoms in the cycloalkanedienyl ring wherein said

cycloalkanedienyl ring is mono-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group and one of the methylene groups ($-CH_2-$) in said cycloalkanedienyl ring is replaced by -O-, -NH-, =N-, -S-, -SO- or $-S(O)_2-$;

an alkylphenyl group wherein said phenyl group is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS-;

an alkyl-O-, -S- or -SS-phenyl group wherein said phenyl group is linked to an alkylene group having 1 - 4 carbon atoms via -O-, -S- or -SS-;

an -O-, -S- or -SS-phenyl group;

a diphenylamino group;

an alkylphenyl group wherein said phenyl group is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS- and mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, a alkyl group, an alkoxy group, an amino group, a nitro group or a carboxyl group;

an alkyl-O-, -S- or -SS-phenyl group wherein said phenyl group is linked to an alkylene group having 1 - 4 carbon atoms via -O-, -S- or -SS- and mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group or a carboxyl group;

an -O-, -S- or -SS-phenyl group wherein said phenyl group is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group or a carboxyl group;

or

R_1 and R_2, together with the carbon atom to which they are attached, may form a divalent group selected from:

a cycloalkylidene group of 5 - 8 carbon atoms;

a cycloalkylidene group of 5 - 8 carbon atoms which is mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, a cycloalkyl group, a phenyl group, an amino group, a nitro group or a carboxyl group;

a cycloalkylidene group of 5 - 8 carbon atoms wherein one of the methylene groups ($-CH_2-$) in said cycloalkyl ring is replaced by -O-, -NH-, -S-, -SO- or $-S(O)_2-$;

a cycloalkylidene group of 5 - 8 carbon atoms wherein one of the methylene groups ($-CH_2-$) in said cycloalkyl ring is replaced by -O-, -NH-, -S-, -SO- or $-S(O)_2-$ group and one or more of the unsubstituted methylene groups ($-CH_2-$) in said cycloalkyl ring are mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms;

a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms which is mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, a cycloalkyl group, a phenyl group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms wherein one of the methylene groups ($-CH_2-$) in said cycloalkenyl ring or cycloalkanedienyl ring is replaced by -O-, -NH-, =N-, -S-, -SO- or $-S(O)_2-$;

a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms wherein one of the methylene groups ($-CH_2-$) in said cycloalkenyl ring or cycloalkanedienyl ring is replaced by -O-, -NH-, =N-, -S-, -SO- or $-S(O)_2-$ group and one or more of the unsubstituted methylene groups ($-CH_2-$) in said cycloalkenyl ring or cycloalkanedienyl ring are mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkylidene group of 4 - 8 carbon atoms;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkylidene group of 4 - 8 carbon atoms, said phenyl ring being mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms, said phenyl ring being mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

an α-amino acid; and, if necessary, an auxiliary agent for manufacturing a pharmaceutical preparation.

2. The stabilized pharmaceutical preparation containing the 4-amino-3-substituted-butanoic acid derivative as claimed in Claim 1 wherein said α-amino acid is one or more selected from

the L-, D- and DL-forms of neutral α-amino acids;

alkali salts, acid amides, alkyl-substituted derivatives of acid amides or alkyl esters of the L-, D- and DL-forms of acidic α-amino acids;

acid addition salts or monoacylated derivatives of the L-, D- and DL-forms of basic α-amino acids;

α,ω-diaminodicarboxylic acids; and

acidic amino acid-basic amino acid adducts of the L-, D- and DL-forms of acidic α-amino acids and the L-, D- and DL-forms of basic α-amino acids.

3. The stabilized pharmaceutical preparation containing the 4-amino-3-substituted-butanoic acid derivative as claimed in Claim 2 wherein said α-amino acid is one or more selected from

neutral α-amino acids consisting of glycine, phenylglycine, hydroxyphenylglycine, dihydroxyphenylglycine, L-alanine, hydroxy-L-alanine, L-leucine, hydroxy-L-leucine, dihydroxy-L-leucine, L-norleucine, methylene-L-norleucine, L-ketonorleucine, L-isoleucine, hydroxy-L-isoleucine, dihydroxy-L-isoleucine, L-valine, hydroxy-L-valine, L-isovaline, L-norvaline, hydroxy-L-norvaline, hydroxy-L-ketonorvaline, L-methionine, L-homomethionine, L-ethionine, L-threonine, acetyl-L-threonine, L-tryptophan, hydroxy-L-tryptophan, methyl-L-tryptophan, L-tyrosine, hydroxy-L-tyrosine, methyl-L-tyrosine, bromo-L-tyrosine, dibromo-L-tyrosine, 3,5-diiodo-L-tyrosine, acetyl-L-tyrosine, chloro-L-tyrosine, L-m-tyrosine, L-levodopa, L-methyldopa, L-thyroxine, L-serine, acetyl-L-serine, L-homoserine, acetyl-L-homoserine, ethyl-L-homoserine, propyl-L-homoserine, butyl-L-homoserine, L-cystine, L-homocystine, methyl-L-cysteine, allyl-L-cysteine, propyl-L-cysteine, L-phenylalanine, dihydro-L-phenylalanine, hydroxymethyl-L-phenylalanine, L-aminobutyric acid, L-aminoisobutyric acid, L-ketoaminobutyric acid,

dichloro-L-aminobutyric acid, dihydroxy-L-aminobutyric acid, phenyl-L-aminobutyric acid, L-aminovaleric acid, L-aminohydroxyvaleric acid, dihydroxy-L-aminovaleric acid, L-aminoisovaleric acid, L-aminohexanoic acid, methyl-L-aminohexanoic acid, L-aminoheptanoic acid, L-aminooctanoic acid and citrulline and the D- and DL-forms thereof;

acidic α-amino acids consisting of L-aspartic acid, L-glutamic acid, L-carbocysteine, L-aminoglutaric acid, L-aminosuccinic acid, L-aminoadipic acid, L-aminopimelic acid, hydroxy-L-aminopimelic acid, methyl-L-aspartic acid, hydroxy-L-aspartic acid, methyl-L-glutamic acid, methyl-hydroxy-L-glutamic acid, L-methyleneglutamic acid, hydroxy-L-glutamic acid, dihydroxy-L-glutamic acid and hydroxy-L-aminoadipic acid and the D- and DL-forms thereof;

basic α-amino acids consisting of L-arginine, L-lysine, L-ornithine, L-canavanine, L-canaline, hydroxy-L-lysine, L-homoarginine, hydroxy-L-homoarginine, hydroxy-L-ornithine, L-diaminopropionic acid, L-diaminohexanoic acid, L-diaminobutyric acid, L-diaminovaleric acid, L-diaminoheptanoic acid, and L-diaminooctanoic acid and the D- and DL-forms thereof; and

α,ω-diaminodicarboxylic acids consisting of diaminosuccinic acid, diaminoglutaric acid, diaminoadipic acid and diaminopimelic acid;

provided that, when said α-amino acid is an adipic α-amino acid, it is used in the form of the corresponding alkali salt, acid amide, alkyl-substituted derivative of acid amide or alkyl ester thereof, or

when said α-amino acid is a basic α-amino acid, it is used in the form of the corresponding acid addition salt or monoacylated derivative thereof, or

said acidic α-amino acid and said basic α-amino acid are also used in the form of the corresponding acidic amino acid-basic amino acid adduct.

4. The stabilized pharmaceutical preparation containing a 4-amino-3-substituted-butanic acid derivative as claimed in any of Claims 1-3

wherein a total amount of said α-amino acid is in the range of 0.001 - 80 moles per mole of the 4-amino-3-substituted-butanic acid derivative.

5. The stabilized pharmaceutical preparation containing a 4-amino-3-substituted-butanic acid derivative as claimed in any of Claims 1-4, wherein it is in the form of liquid preparations.

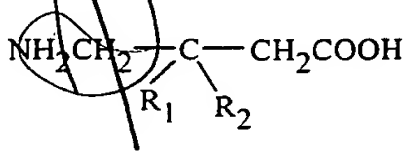
6. The stabilized pharmaceutical preparation containing a 4-amino-3-substituted-butanic acid derivative as claimed in Claim 5 wherein it is in the dosage form of liquid preparations, syrups or injections.

7. The stabilized pharmaceutical preparation containing a 4-amino-3-substituted-butanic acid derivative as claimed in any of Claims 1-4, wherein it is in the form of solid preparations.

8. The stabilized pharmaceutical preparation containing a 4-amino-3-substituted-butanic acid derivative as claimed in Claim 7 wherein it is in the dosage form of tablets, powders, granules or capsules.

9. The stabilized pharmaceutical preparation containing a 4-amino-3-substituted-butanic acid derivative as claimed in any of Claims 1-8, wherein it is a gabapentin-containing preparation, a pregabalin-containing preparation, a baclofen-containing preparation, or a preparation containing 3-aminomethyl-4-cyclohexyl-butanoic acid, 3-aminomethyl-5-cyclohexyl-pentanoic acid, 3-aminomethyl-4-phenyl-butanoic acid or 3-aminomethyl-5-phenyl-pentanoic acid.

10. A process for the preparation of a stabilized pharmaceutical preparation containing a 4-amino-3-substituted-butanoic acid derivative having the general formula



wherein,

R_1 is a hydrogen atom, a hydroxyl group, a methyl group or an ethyl group;

R_2 is a monovalent group selected from:

a straight or branched alkyl group of 3 - 8 carbon atoms;

a straight or branched alkylene group of 3 - 8 carbon atoms;

a straight or branched alkyl group of 3 - 8 carbon atoms which is mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkyl group of 3 - 8 carbon atoms;

a cycloalkyl group of 3 - 8 carbon atoms which is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkyl group of 4 - 8 carbon atoms;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkyl group of 4 - 8 carbon atoms wherein said phenyl ring is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedienyl group of 5 - 8 carbon atoms;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedienyl group of 5 - 8 carbon atoms wherein said phenyl ring is mono-, di- or tri-substituted with a halogen atom, a

trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

an alkylcycloalkyl group wherein said cycloalkyl has 3 - 8 carbon atoms and is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS-;

an alkylcycloalkyl group wherein said cycloalkyl has 3 - 8 carbon atoms, is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS- and is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkyl group of 5 - 8 carbon atoms wherein one of the methylene groups ($-CH_2-$) is replaced by -O-, -NH-, -S-, -SO- or $-S(O)_2-$;

a cycloalkyl group of 5 - 8 carbon atoms wherein one of the methylene groups ($-CH_2-$) is replaced by -O-, -NH-, -S-, -SO- or $-S(O)_2-$, and one or two of the unsubstituted methylene groups ($-CH_2-$) are mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedienyl group of 5 - 8 carbon atoms, one of the methylene groups ($-CH_2-$) in said cycloalkenyl ring or cycloalkanedienyl ring being replaced by -O-, -NH-, =N-, -S-, -SO- or $-S(O)_2-$;

a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedienyl group of 5 - 8 carbon atoms, one of the methylene groups ($-CH_2-$) in said cycloalkenyl ring or

cycloalkanedienyl ring being replaced by -O-, -NH-, =N-, -S-, -SO- or $-S(O)_2-$, and one or two of the unsubstituted methylene groups ($-CH_2-$) being mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkyl group of 5 - 8 carbon atoms wherein one of the methylene groups ($-CH_2-$) is replaced by -O-, -NH-, -S-, -SO- or $-S(O)_2-$;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkyl group of 5 - 8 carbon atoms wherein one of the methylene groups ($-CH_2-$) is replaced by -O-, -NH-, -S-, -SO- or $-S(O)_2-$, said phenyl group being mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedienyl group of 5 - 8 carbon atoms, one of the methylene groups ($-CH_2-$) in said cycloalkenyl ring or cycloalkanedienyl ring being replaced by -O-, -NH-, =N-, -S-, -SO- or $-S(O)_2-$;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenyl group of 5 - 8 carbon atoms or a cycloalkanedienyl group of 5 - 8 carbon atoms, one of the methylene groups ($-CH_2-$) in said cycloalkenyl ring or cycloalkanedienyl ring being replaced by -O-, -NH-, =N-, -S-, -SO- or $-S(O)_2-$, said phenyl ring being mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl

group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

an alkylcycloalkyl group wherein said cycloalkyl has 5 - 8 carbon atoms and is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS-, one of the methylene groups ($-CH_2-$) in said cycloalkyl ring being replaced by -O-, -NH-, -S-, -SO- or $-S(O)_2-$;

an alkylcycloalkyl group wherein said cycloalkyl has 5 - 8 carbon atoms and is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS-, and one of the methylene groups ($-CH_2-$) in said cycloalkyl ring being replaced by -O-, -NH-, -S-, -SO- or $-S(O)_2-$ and one or two of the unsubstituted methylene groups ($-CH_2-$) being mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a phenyl or naphthyl group;

a phenyl group substituted with a methylenedioxy group;

a phenyl or naphthyl group which is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group, a carboxyl group, a phenoxy group, a phenylmethoxy group, a phenylmethoxy group wherein said phenyl ring is mono-substituted with a halogen atom, trifluoromethyl group, an alkoxy group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group, a cycloalkylmethoxy group having 5 - 8 carbon atoms in the cycloalkyl ring, a cycloalkenylmethoxy group having 5 - 8 carbon atoms in the cycloalkenyl ring, a cycloalkanedienylmethoxy group having 5 - 8 carbon atoms in the cycloalkanedienyl ring, a cycloalkylmethoxy group wherein one of the methylene groups ($-CH_2-$) in said cycloalkyl ring having

5 - 8 carbon atoms is replaced by -O-, -NH-, -S-, -SO- or -$S(O)_2$-, a cycloalkenylmethoxy group wherein one of the methylene groups (-CH_2-) in said cycloalkenyl ring having 5 - 8 carbon atoms is replaced by -O-, -NH-, =N-, -S-, -SO- or -$S(O)_2$-, a cycloalkanedienyl-methoxy group wherein one of the methylene groups (-CH_2-) in said cycloalkanedienyl ring having 5 - 8 carbon atoms is replaced by -O-, -NH-, =N-, -S-, -SO- or -$S(O)_2$- group, a cycloalkylmethoxy group having 5 - 8 carbon atoms in the cycloalkyl ring wherein said cycloalkyl ring is mono-substituted with a halogen atom, trifluoromethyl group, a hydroxy group, an alkyl group, an alkoxy group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group and one of the methylene groups (-CH_2-) in said cycloalkyl ring is replaced by -O-, -NH-, -S-, -SO- or -$S(O)_2$-, a cycloalkenylmethoxy group having 5 - 8 carbon atoms in the cycloalkenyl ring wherein said cycloalkenyl ring is mono-substituted with a halogen atom, a trifluoromethyl group, a hydroxy group, an alkyl group, an alkoxy group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group and one of the methylene groups (-CH_2-) in said cycloalkenyl ring is replaced by -O-, -NH-, =N-, -S-, -SO- or -$S(O)_2$-, or a cycloalkanedienylmethoxy group having 5 - 8 carbon atoms in the cycloalkanedienyl ring wherein said cycloalkanedienyl ring is mono-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group and one of the methylene groups (-CH_2-) in said cycloalkanedienyl ring is replaced by -O-, -NH-, =N-, -S-, -SO- or -$S(O)_2$-;

an alkylphenyl group wherein said phenyl group is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS-;

an alkyl-O-, -S- or -SS-phenyl group wherein said phenyl group is linked to an alkylene group having 1 - 4 carbon atoms via -O-, -S- or -SS-;

an -O-, -S- or -SS-phenyl group;

a diphenylamino group:

an alkylphenyl group wherein said phenyl group is linked to an alkylene group having 1 - 4 carbon atoms optionally interrupted with -O-, -S- or -SS- and mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, a alkyl group, an alkoxy group, an amino group, a nitro group or a carboxyl group;

an alkyl-O-, -S- or -SS-phenyl group wherein said phenyl group is linked to an alkylene group having 1 - 4 carbon atoms via -O-, -S- or -SS- and mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group or a carboxyl group;

an -O-, -S- or -SS-phenyl group wherein said phenyl group is mono-, di- or tri-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an amino group, a nitro group or a carboxyl group;

or

R_1 and R_2, together with the carbon atom to which they are attached, may form a divalent group selected from:

a cycloalkylidene group of 5 - 8 carbon atoms;

a cycloalkylidene group of 5 - 8 carbon atoms which is mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, a cycloalkyl group, a phenyl group, an amino group, a nitro group or a carboxyl group;

a cycloalkylidene group of 5 - 8 carbon atoms wherein one of the methylene groups ($-CH_2-$) in said cycloalkyl ring is replaced by -O-, -NH-, -S-, -SO- or $-S(O)_2-$;

a cycloalkylidene group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH_2-) in said cycloalkyl ring is replaced by -O-, -NH-, -S-, -SO- or -$S(O)_2$- group and one or more of the unsubstituted methylene groups (-CH_2-) in said cycloalkyl ring are mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms;

a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms which is mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, a cycloalkyl group, a phenyl group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH_2-) in said cycloalkenyl ring or cycloalkanedienyl ring is replaced by -O-, -NH-, =N-, -S-, -SO- or -$S(O)_2$-;

a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms wherein one of the methylene groups (-CH_2-) in said cycloalkenyl ring or cycloalkanedienyl ring is replaced by -O-, -NH-, =N-, -S-, -SO- or -$S(O)_2$- group and one or more of the unsubstituted methylene groups (-CH_2-) in said cycloalkenyl ring or cycloalkanedienyl ring are mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy

group, an alkylthio group, an amino group, a nitro group, an oxo group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkylidene group of 4 - 8 carbon atoms;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkylidene group of 4 - 8 carbon atoms, said phenyl ring being mono-, di-, tri- or tetra-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms;

a condensed ring group formed by ortho-fusion of a phenyl ring with a cycloalkenylidene group of 5 - 8 carbon atoms or a cycloalkanedienylidene group of 5 - 8 carbon atoms, said phenyl ring being mono- or di-substituted with a halogen atom, a trifluoromethyl group, a hydroxyl group, an alkyl group, an alkoxy group, an alkylthio group, an amino group, a nitro group, a carboxyl group or a carboalkoxy group, which comprises combining the 4-amino-3-substituted-butanoic acid derivative with an α-amino acid and, if necessary, an auxiliary agent for manufacturing a pharmaceutical preparation.

11. The process as claimed in Claim 10 wherein said α-amino acid is one or more selected from

the L-, D- and DL-forms of neutral α-amino acids;

alkali salts, acid amides, alkyl-substituted derivatives of acid amides or alkyl esters of the L-, D- and DL-forms of acidic α-amino acids;

acid addition salts or monoacylated derivatives of the L-, D- and DL-forms of basic α-amino acids;

α,ω-diaminodicarboxylic acids; and

acidic amino acid-basic amino acid adducts of the L-, D- and DL-forms of acidic α-amino acids and the L-, D- and DL-forms of basic α-amino acids.

12. The process as claimed in Claim 10 wherein said α-amino acid is one or more selected from

neutral α-amino acids consisting of glycine, phenylglycine, hydroxyphenylglycine, dihydroxyphenylglycine, L-alanine, hydroxy-L-alanine, L-leucine, hydroxy-L-leucine, dihydroxy-L-leucine, L-norleucine, methylene-L-norleucine, L-ketonorleucine, L-isoleucine, hydroxy-L-isoleucine, dihydroxy-L-isoleucine, L-valine, hydroxy-L-valine, L-isovaline, L-norvaline, hydroxy-L-norvaline, hydroxy-L-ketonorvaline, L-methionine, L-homomethionine, L-ethionine, L-threonine, acetyl-L-threonine, L-tryptophan, hydroxy-L-tryptophan, methyl-L-tryptophan, L-tyrosine, hydroxy-L-tyrosine, methyl-L-tyrosine, bromo-L-tyrosine, dibromo-L-tyrosine, 3,5-diiodo-L-tyrosine, acetyl-L-tyrosine, chloro-L-tyrosine, L-m-tyrosine, L-levodopa, L-methyldopa, L-thyroxine, L-serine, acetyl-L-serine, L-homoserine, acetyl-L-homoserine, ethyl-L-homoserine, propyl-L-homoserine, butyl-L-homoserine, L-cystine, L-homocystine, methyl-L-cysteine, allyl-L-cysteine, propyl-L-cysteine, L-phenylalanine, dihydro-L-phenylalanine, hydroxymethyl-L-phenylalanine, L-aminobutyric acid, L-aminoisobutyric acid, L-ketoaminobutyric acid, dichloro-L-aminobutyric acid, dihydroxy-L-aminobutyric acid, phenyl-L-aminobutyric acid, L-aminovaleric acid, L-aminohydroxyvaleric acid, dihydroxy-L-aminovaleric acid, L-aminoisovaleric acid, L-aminohexanoic acid, methyl-L-aminohexanoic acid, L-aminoheptanoic acid, L-aminooctanoic acid and citrulline and the D- and DL-forms thereof;

acidic α-amino acids consisting of L-aspartic acid, L-glutamic acid, L-carbocysteine, L-aminoglutaric acid, L-aminosuccinic acid, L-aminoadipic acid, L-aminopimelic acid, hydroxy-L-aminopimelic acid, methyl-L-aspartic acid, hydroxy-L-aspartic acid, methyl-L-glutamic acid, methyl-hydroxy-L-glutamic acid, L-methyleneglutamic acid, hydroxy-L-

glutamic acid, dihydroxy-L-glutamic acid and hydroxy-L-aminoadipic acid and the D- and DL-forms thereof;

basic α-amino acids consisting of L-arginine, L-lysine, L-ornithine, L-canavanine, L-canaline, hydroxy-L-lysine, L-homoarginine, hydroxy-L-homoarginine, hydroxy-L-ornithine, L-diaminopropionic acid, L-diaminohexanoic acid, L-diaminobutyric acid, L-diaminovaleric acid, L-diaminoheptanoic acid, and L-diaminooctanoic acid and the D- and DL-forms thereof; and

α,ω-diaminodicarboxylic acids consisting of diaminosuccinic acid, diaminoglutaric acid, diaminoadipic acid and diaminopimelic acid;

provided that, when said α-amino acid is an acidic α-amino acid, it is used in the form of the corresponding alkali salt, acid amide, alkyl-substituted derivative of acid amide or alkyl ester thereof, or

when said α-amino acid is a basic α-amino acid, it is used in the form of the corresponding acid addition salt or monoacylated derivative thereof, or

said acidic α-amino acid and said basic α-amino acid are also used in the form of the corresponding acidic amino acid-basic amino acid adduct.

13. The process as claimed in any of Claims 10-12 wherein the stabilized pharmaceutical preparation containing a 4-amino-3-substituted-butanoic acid derivative is in the form of liquid preparations.

14. The process as claimed in Claim 5 wherein the liquid preparation is in the dosage form of liquid preparations, syrups or injections.

15. The process as claimed in any of Claims 10-12 wherein the stabilized pharmaceutical preparation is in the form of solid preparations.

16. The process as claimed in Claim 15 wherein the solid preparation is in the dosage form of tablets, powders, granules or capsules.

17. The process as claimed in any of Claims 10-16 wherein the stabilized pharmaceutical preparation containing a 4-amino-3-substituted-butanic acid derivative is a gabapentin-containing preparation, a pregabalin-containing preparation, a baclofen-containing preparation, or a preparation containing 3-aminomethyl-4-cyclohexyl-butanoic acid, 3-aminomethyl-5-cyclohexyl-pentanoic acid, 3-aminomethyl-4-phenyl-butanoic acid or 3-aminomethyl-5-phenyl-pentanoic acid.

add A3

add C7